INVENTOR SEARCH

```
=> d his l106

     (FILE 'HCAPLUS' ENTERED AT 16:01:51 ON 15 OCT 2007)
L106          23 S L103-L105

=> d que l106
L2            36 SEA FILE=REGISTRY ABB=ON  PLU=ON  (10025-87-3/BI OR
                 13507-10-3/BI OR 14690-00-7/BI OR 156-54-7/BI OR
                 16727-61-0/BI OR 187976-16-5/BI OR 22102-92-7/BI OR
                 26362-71-0/BI OR 366806-33-9/BI OR 459-73-4/BI OR
                 504-63-2/BI OR 52066-54-3/BI OR 52660-18-1/BI OR
                 534-03-2/BI OR 600174-74-1/BI OR 600174-75-2/BI OR
                 600174-76-3/BI OR 600174-77-4/BI OR 600174-78-5/BI OR
                 600174-79-6/BI OR 600174-80-9/BI OR 600174-81-0/BI OR
                 600174-82-1/BI OR 600174-83-2/BI OR 600174-84-3/BI OR
                 601606-59-1/BI OR 601606-60-4/BI OR 601606-61-5/BI OR
                 601606-62-6/BI OR 623-33-6/BI OR 64821-66-5/BI OR
                 68755-22-6/BI OR 74-89-5/BI OR 7664-41-7/BI OR
                 77-86-1/BI OR 88946-00-3/BI)
L6               STR
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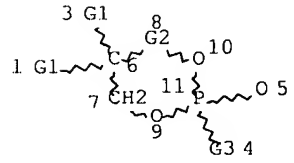


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VAR G1=H/N/O/C
REP G2=(0-1) CH2
VAR G3=N/O
NODE ATTRIBUTES:
CONNECT IS E1  RC AT   5
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RSPEC I
NUMBER OF NODES IS  10

STEREO ATTRIBUTES: NONE
L8          2404 SEA FILE=REGISTRY SSS FUL L6
L10           16 SEA FILE=REGISTRY ABB=ON  PLU=ON  L8 AND L2
L11           54 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L10
L12         1512 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L8
L13              QUE  ABB=ON  PLU=ON  PHARMAC?/SC,SX
L16              QUE  ABB=ON  PLU=ON  PY<2003 OR PRY<2003 OR AY<2003 OR
                 MY<2003 OR REVIEW/DT
L18              STR
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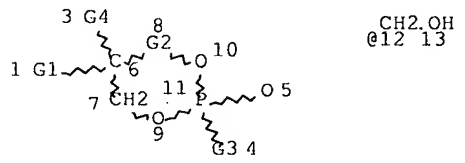


```
VAR G1=H/N/O/C
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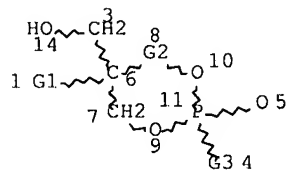
REP G2=(0-1) CH2
VAR G3=N/O
VAR G4=H/12
NODE ATTRIBUTES:
CONNECT IS E1 RC AT 5
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RSPEC I
NUMBER OF NODES IS 12

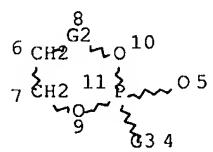
STEREO ATTRIBUTES: NONE
L20 1505 SEA FILE=REGISTRY SUB=L8 SSS FUL L18
L21 16 SEA FILE=REGISTRY ABB=ON PLU=ON L2 AND L20
L25 STR



VAR G1=H/N/O/C
REP G2=(0-1) CH2
VAR G3=N/O
NODE ATTRIBUTES:
CONNECT IS E1 RC AT 5
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RSPEC I
NUMBER OF NODES IS 11

STEREO ATTRIBUTES: NONE
L27 58 SEA FILE=REGISTRY SUB=L8 SSS FUL L25
L28 2 SEA FILE=REGISTRY ABB=ON PLU=ON L2 AND L27
L36 79 SEA FILE=HCAPLUS ABB=ON PLU=ON L27
L37 9 SEA FILE=HCAPLUS ABB=ON PLU=ON L36 AND L13
L38 9 SEA FILE=HCAPLUS ABB=ON PLU=ON L37 AND L16
L39 9 SEA FILE=HCAPLUS ABB=ON PLU=ON L11 AND L13
L40 8 SEA FILE=HCAPLUS ABB=ON PLU=ON L39 AND L16
L41 13 SEA FILE=HCAPLUS ABB=ON PLU=ON (L37 OR L38 OR L39 OR L40)
L42 STR



REP G2=(0-1) CH2
VAR G3=N/O
NODE ATTRIBUTES:
CONNECT IS E1 RC AT 5
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RSPEC I
NUMBER OF NODES IS 8

STEREO ATTRIBUTES: NONE

L4 ANSWER 6 OF 6 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1997:224038 CAPLUS
DOCUMENT NUMBER: 126:212447
TITLE: Phosphorous-containing dipeptide inhibitors of cysteine and serine protease
INVENTOR(S): Mallamo, John P.; Bihovsky, Ron; Tao, Ming; Wells, Gregory J.
PATENT ASSIGNEE(S): Cephalon, Inc., USA
SOURCE: PCT Int. Appl., 59 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9703679	A1	19970206	WO 1996-US11625	19960712
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN				
US 5639732	A	19970617	US 1996-679342	19960710
CA 2226414	A1	19970206	CA 1996-2226414	19960712
AU 9664583	A	19970218	AU 1996-64583	19960712
EP 871454	A1	19981021	EP 1996-923756	19960712
EP 871454	B1	20031112		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 11509231	T	19990817	JP 1996-506762	19960712
AT 253920	T	20031115	AT 1996-923756	19960712
EP 1389624	A1	20040218	EP 2003-78371	19960712
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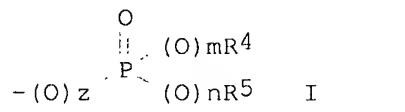
PT 871454	T	20040331	PT 1996-923756	19960712
ES 2210377	T3	20040701	ES 1996-923756	19960712
HK 1016495	A1	20040813	HK 1999-101617	19990414

PRIORITY APPLN. INFO.:	US 1995-1491P	P	19950717
	US 1996-679342	A	19960710
	EP 1996-923756	A3	19960712
	WO 1996-US11625	W	19960712

OTHER SOURCE(S): MARPAT 126:212447
GI



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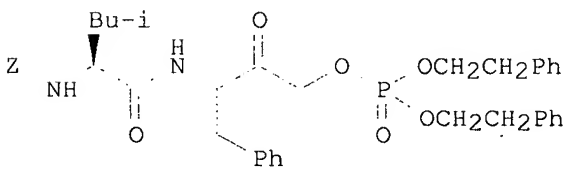

II

AB The present invention is directed to novel phosphorous-containing inhibitors of cysteine or serine proteases of the formula X-W-Y-CH(R2)-CO-NH-CH(R1)-CO-[CH(R3)]t-Q wherein: X = e.g., C6-C14 aryl, heteroaryl with C6-C14 ring atoms, C1-C10 alkyl (un) substituted with one or more J groups, C1-C10 alkoxy; W = CO, SO2; Y = NH, (CH2)k where k = 0-3; R1 and R2 are independently, e.g., H, C1-C14 alkyl (un) substituted with one or more J groups, C3-C10 cycloalkyl (un) substituted with one or more J groups; R3 = e.g., H, lower alkyl, aryl, heteroaryl; t = 0 or 1; Q = I wherein m, n, and z are independently 0 or 1; R4 and R5 are independently, e.g., H, lower alkyl (un) substituted with J, heteroaryl (un) substituted with J, or taken together to form a 5-8 membered heterocyclic ring (un) substituted with J; J = e.g., halogen, alkyl, guanidino, alkoxy. Thus, e.g., substitution reaction of Z-Leu-Phe-CH2Br with bis(phenethyl)phosphate afforded dipeptide derivative II (Z = PhCH2O2C) in 62% yield which exhibited 99% inhibition of calpain I at 0.1 μM. Methods for the use of the protease inhibitors are also described.

IT 187976-16-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of phosphorous-containing dipeptide inhibitors of cysteine and serine protease)

RN 187976-16-5 CAPLUS

CN 1,3,2-Dioxaphosphorinane, 2-hydroxy-5-(phenylmethoxy)-, 2-oxide (9CI) (CA INDEX NAME)